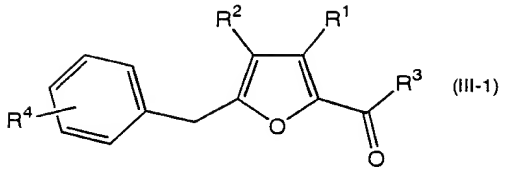
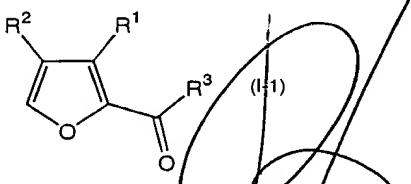
CLAIMS

1. A process for the preparation of a compound of the formula (III-1):



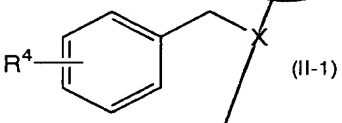
wherein R^1 and R^2 each is independently hydrogen, optionally substituted alkyl, optionally substituted alkoxy or halogen; R^3 is optionally substituted alkyl or optionally substituted alkoxy; and R^4 is hydrogen, optionally substituted alkyl, optionally substituted alkoxy or halogen,

which comprises reacting a compound of the formula (I-1):



wherein R^1, R^2 and R^3 each is as defined above,

with a compound of the formula (II-1):



wherein R^4 is as defined above; and X is halogen,

in the presence of a Lewis acid.

2. The process according to claim 1 wherein a reaction solvent is methylene chloride.

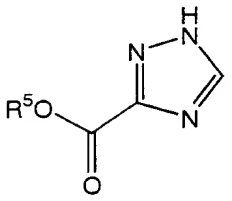
3. The process according to claim 1 wherein a reaction solvent is water.

4. The process according to any one of claims 1-3 wherein R^3 is methyl.

5. The process according to any one of claims 1-4 wherein R^1 and R^2 each is hydrogen.

6. The process according to any one of claims 1-5 wherein R^4 is 4-fluoro.

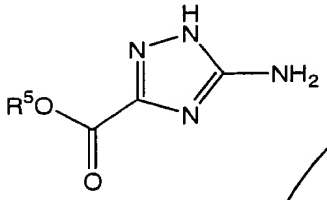
7. A process for the preparation of a compound of the formula (IV-2):



(IV-2)

wherein R^5 is hydrogen or optionally substituted alkyl,

which comprises reacting a compound of the formula (IV-1):



(IV-1)

wherein R^5 is as defined above,

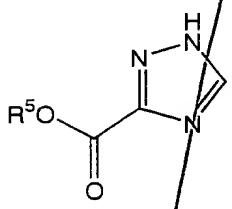
with an alkaline metal nitrite or an alkaline-earth metal nitrite in the presence of a reducing agent.

8. The process according to claim 7 which comprises reacting a compound of the formula (IV-1) with an alkaline metal nitrite in the presence of hypophosphorous acid as the reducing agent.

9. The process according to claim 7 or 8 which is carried out under the addition of a small amount of alchol.

10. The process according to any one of claims 7-9 wherein R^5 is hydrogen.

11. A process for the preparation of a compound of the formula (IV-3):



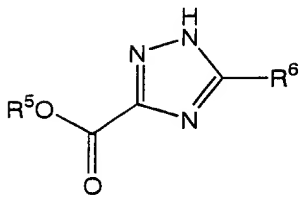
(IV-3)

wherein R^5 is optionally substituted alkyl,

which comprises preparing 1,2,4-triazole-3-carboxilic acid through the

process according to claim 10 and esterifing the obtained compound,

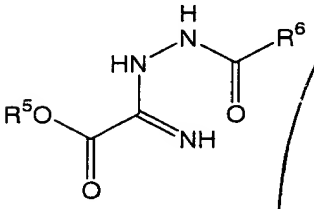
12. A process for a compound of the formula (IV-4):



(IV-4)

wherein R^5 is hydrogen or optionally substituted alkyl; and R^6 is hydrogen, optionally substituted alkyl or optionally substituted aryl,

which comprises cyclizing a compound of the formula (V):



(V)

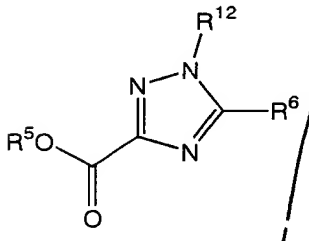
wherein R^5 and R^6 are as defined above,

in the presence of trialkylorthoester or a catalytic amount of an acid.

13. The process according to claim 12 wherein R^5 is optionally substituted alkyl.

14. The process according to claim 12 wherein R^5 is optionally substituted alkyl; and R^6 is hydrogen.

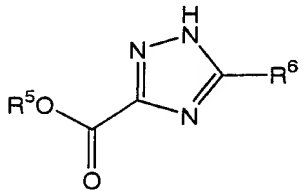
15. A process for the preparation of a compound of the formula (IV-6):



(IV-6)

wherein R^5 is optionally substituted alkyl; R^6 is hydrogen, optionally substituted alkyl or optionally substituted aryl; and R^{12} is a group of the formula: $-R^7$ wherein R^7 is trityl, optionally substituted sulfamoyl or

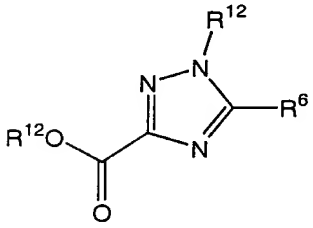
optionally substituted alkoxymethyl, a group of the formula: $-C(OR^8)R^9-CHR^{10}R^{11}$ wherein R^8 is optionally substituted alkyl; R^9, R^{10} and R^{11} each is independently hydrogen or optionally substituted alkyl; or R^8 and R^{10} may be taken together to form optionally substituted alkylene, or hydroxymethyl, which comprises preparing a compound of the formula (IV-5):



(IV-5)

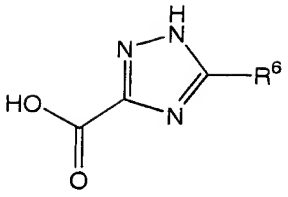
wherein R^5 and R^6 are as defined above, through the process according to any one of claims 7-9 and 11-14, and reacting the obtained compound with a compound of the formula: R^7X wherein R^7 is as defined above; and X is halogen, a compound of the formula: $(R^8O)R^9C=CR^{10}R^{11}$ wherein R^8, R^9, R^{10} and R^{11} are as defined above, or formaldehyde.

16. A process of the preparation of a compound of the formula (IV-8):



(IV-8)

wherein R^6 is hydrogen, optionally substituted alkyl or optionally substituted aryl; and R^{12} is a group of the formula: $-R^7$ wherein R^7 is trityl, optionally substituted sulfamoyl or optionally substituted alkoxymethyl, a group of the formula: $-C(OR^8)R^9-CHR^{10}R^{11}$ wherein R^8 is optionally substituted alkyl; R^9, R^{10} and R^{11} each is independently hydrogen or optionally substituted alkyl, or R^8 and R^{10} may be taken together to form optionally substituted alkylene, or hydroxymethyl, which comprises preparing a compound of the formula (IV-7):



(IV-7)

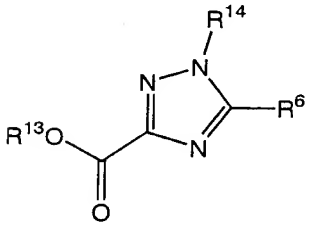
wherein R^6 is as defined above, through the process according to claim 10 or 12, and reacting the obtained compound with a compound of the formula: R^7X wherein R^7 is as defined above; and X is halogen, a compound of the formula: $(R^8O)R^9C=CR^{10}R^{11}$ wherein R^8, R^9, R^{10} and R^{11} are as defined above, or formaldehyde.

17. The process according to claim 15 or 16 which comprises reacting with a compound of the formula: R^7X wherein R^7 is trityl.

18. The process according to claim 15 or 16 which comprises reacting with a compound of the formula: $(R^8O)R^9C=CR^{10}R^{11}$ wherein R^8 and R^{10} are taken together to form trimethylene; and R^9 and R^{11} each is hydrogen.

19. The process according to claim 15 or 16 which comprises reacting with a compound of the formula: $(R^8O)R^9C=CR^{10}R^{11}$ wherein R^8 and R^9 each is methyl; and R^{10} and R^{11} each is hydrogen.

20. A compound of the formula (IV-9):



(IV-9)

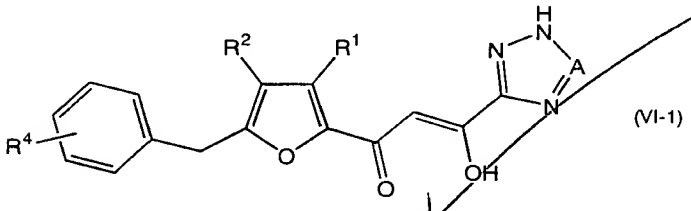
wherein R^6 is hydrogen or alkyl; R^{13} is alkyl, a group of the formula: $-R^7$ wherein R^7 is trityl, optionally substituted sulfamoyl or alkoxymethyl, a group of the formula: $-C(OR^8)R^9$-$CHR^{10}R^{11}$ wherein R^8 is alkyl; R^9, R^{10} and R^{11} each is independently hydrogen or alkyl; or R^8 and R^{10} may be taken together to form alkylene, or hydroxymethyl; and R^{14} is a group of the formula: $-R^7$

wherein R^7 is as defined above, a group of the formula: $-C(OR^8)R^9-CHR^{10}R^{11}$ wherein R^8, R^9, R^{10} and R^{11} are defined above, or hydroxymethyl,

provided that a compound wherein R^6 is hydrogen; R^{13} is methyl; and R^{14} is trityl, a compound wherein R^6 is hydrogen; R^{13} is methyl; and R^{14} is tetrahydropyran-2-yl, and a compound wherein R^6 is hydrogen; R^{13} is ethyl; and R^{14} is trityl are excluded.

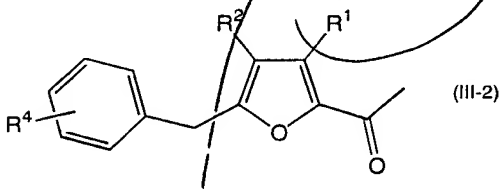
21. The compound according to claim 20 wherein R^6 is hydrogen; R^{13} is methyl or ethyl; R^{14} is tetrahydropyran-2-yl, hydroxymethyl, methoxymethyl, ethoxymethyl, N,N-dimethylsulfamoyl, (1-methoxy-1-methyl)ethyl, (1-ethoxy)ethyl, (1-ethoxy-1-methyl)ethyl, (1-n-propoxy)ethyl, (1-n-butoxy)ethyl or (1-isobutoxy)ethyl.

22. A process for the preparation of a compound of the formula (VI-1):



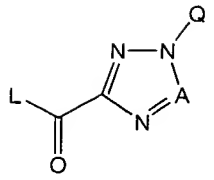
(VI-1)

wherein R^1, R^2 and R^4 each is independently hydrogen, optionally substituted alkyl, optionally substituted alkoxy or halogen; A is CR^6 or N; and R^6 is hydrogen, optionally substituted alkyl or optionally substituted aryl, which comprises preparing a compound of the formula (III-2):



(III-2)

wherein R^1, R^2 and R^4 are as defined above, through the process according to claim4, reacting the compound of the formula (III-2) with a compound of the formula (IV-10):



(IV-10)

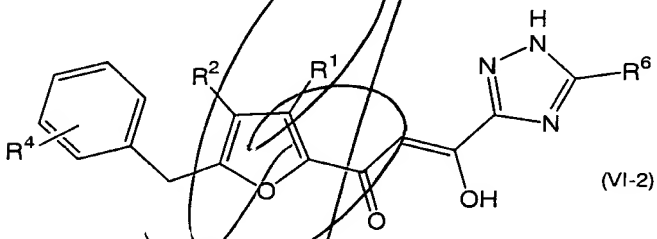
wherein A is as defined above, Q is a protecting group; and L is a leaving group, in the presence of a base, and deprotecting Q.

23. The process according to claim 22 wherein R^1 and R^2 each is hydrogen; and R^4 is halogen.

24. The process according to claim 22 or 23 wherein R^4 is 4-fluoro.

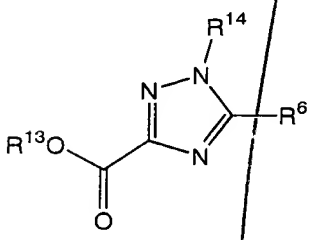
25. The process according to any one of claims 22-24 wherein A is CH.

26. A process for the preparation of a compound of the formula (IV-2):



(VI-2)

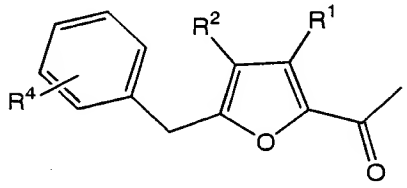
wherein R^1, R^2 and R^4 each is independently hydrogen, optionally substituted alkyl, optionally substituted alkoxy or halogen; and R^6 is hydrogen, optionally substituted alkyl or optionally substituted aryl, which comprises preparing a compound of the formula (IV-11):



(IV-11)

wherein R^6 is as defined above, R^{13} is optionally substituted alkyl, a group of the formula: $-R^7$ wherein R^7 is trityl, optionally substituted sulfamoyl or optionally substituted alkoxymethyl, a group of the formula: $-C(OR^8)R^9-CHR^{10}R^{11}$ wherein R^8 is alkyl; R^9, R^{10} and R^{11} each is independently hydrogen or optionally substituted alkyl; or R^8 and R^{10} may be taken together to form

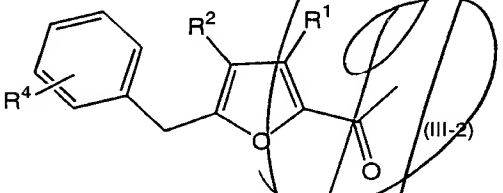
alkylene, or hydroxymethyl; and R^{14} is a group of the formula: $-R^7$ wherein R^7 is as defined above, a group of the formula: $-C(OR^8)R^9-CHR^{10}R^{11}$ wherein R^8, R^9, R^{10} and R^{11} are defined above, or hydroxymethyl, through the process according to claim 15 or 16, reacting the obtained compound with a compound of the formula(III-2):



(III-2)

wherein R^1, R^2 and R^4 are as defined above, and deprotecting R^{14},

27. The process according to claim 26 which comprises preparing the compound of the formula (III-2):

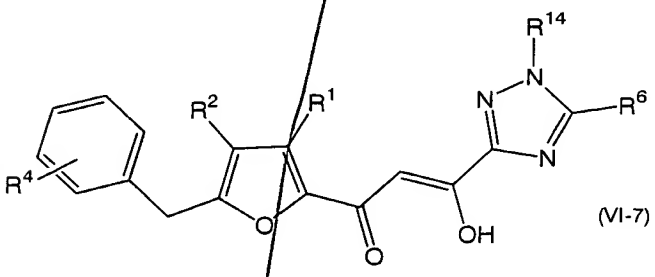


(III-2)

wherein R^1, R^2 and R^4 each is independently hydrogen, optionally substituted alkyl, optionally substituted alkoxy or halogen through the process according to claim 4.

28. The process according to claim 26 or 27 wherein R^1, R^2 and R^6 each is hydrogen; and R^4 is halogen.

29. A compound of the formula (VI-7):



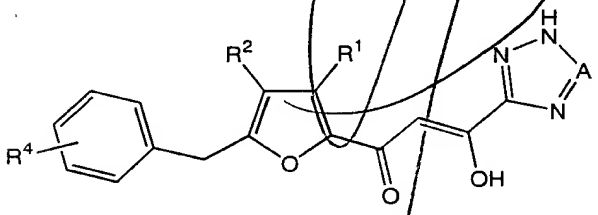
(VI-7)

wherein R^1, R^2 and R^4 each is independently hydrogen, optionally substituted alkyl, optionally substituted alkoxy or halogen; R^6 is hydrogen, optionally

substituted alkyl or optionally substituted aryl; and R^{14} is a group of the formula: $-R^7$ wherein R^7 is trityl, optionally substituted sulfamoyl or optionally substituted alkoxymethyl, a group of the formula: $-C(OR^8)R^9-CHR^{10}R^{11}$ wherein R^8 is alkyl; R^9, R^{10} and R^{11} each is independently hydrogen or optionally substituted alkyl; or R^8 and R^{10} may be taken together to form alkylene, or hydroxymethyl.

30. The compound according to claim 29 wherein R^4 is 4-fluoro, R^1, R^2 and R^6 each is hydrogen, and R^{14} is trityl, tetrahydropyran-2-yl, hydroxymethyl, methoxymethyl, ethoxymethyl, N,N-dimethylsulfamoyl, (1-methoxy-1-methyl)ethyl, (1-ethoxy)ethyl, (1-ethoxy-1-methyl)ethyl, (1-n-propoxy)ethyl, (1-n-butoxy)ethyl or (1-isobutoxy)ethyl.

31. A crystal of an isomer having a chemical structure of the formula (VI-1):



(VI-1)

wherein A is CR^6 or N; R^6 is hydrogen, optionally substituted alkyl or optionally substituted aryl; and R^1, R^2 and R^4 each is independently hydrogen, optionally substituted alkyl, optionally substituted alkoxy or halogen.

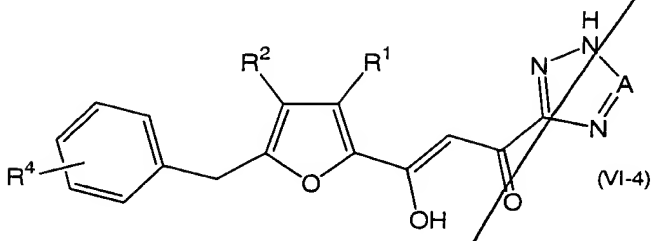
32. The crystal according to claim 31 wherein R^1 and R^2 each is hydrogen; R^4 is p-fluoro; and A is CH.

33. The crystal according to claim 32 of which crystal parameters by single crystal X-ray diffraction are unit cell constants a = 32.432(2) Å, b = 10.886(2) Å, c = 7.960(2) Å, α = 90.00°, β = 90.00°, γ = 90.00°, V = 2810(1) Å^3, Z = 8, a space group Pbca; and density of 1.481 g/cm^3.

34. The crystal according to claim 32 of which diffraction angles (2θ) of main peaks by powder X-ray diffraction are 20.380, 21.280, 21.340, 23.140, 23.360,

23.540, 25.860, 27.460, 27.500, 28.100, 28.180, 29.400 and 29.480 (degree).

35. A crystal of an isomer having a chemical structure of the formula (VI-4):



(VI-4)

wherein A is CR^6 or N; R^6 is hydrogen, optionally substituted alkyl or optionally substituted aryl; and R^1, R^2 and R^4 each is independently hydrogen, optionally substituted alkyl, optionally substituted alkoxy or halogen.

36. The crystal according to claim 35 wherein R^1 and R^2 each is hydrogen; R^4 is p-fluoro; and A is CH.

37. The crystal according to claim 36 of which crystal parameters by single crystal X-ray diffraction are unit cell constants a = 11.9003(7)Å, b = 9.7183(5)Å, c = 13.2617(8)Å, α = 90.00°, β = 109.450(4)°, γ = 90.00°, V = 1446.2(1)Å^3 and Z = 4; a space group $P2_1/n$; and density of 1.439 g/cm^3.

38. The crystal according to claim 36 of which diffraction angles (2θ) of main peaks by powder X-ray diffraction are 8.760, 19.600, 22.080, 23.760, 26.200, 27.580 and 29.080 (degree).

39. A crystal of an isomer of 1-[5-(4-fluorobenzyl)furan-2-yl]-3-hydroxy-3-(1*H*-1,2,4-triazole-3-yl)propenone of which diffraction angles (2θ) of main peaks by powder X-ray diffraction are 10.520, 13.860, 15.680, 18.160, 22.840, 26.180 and 28.120 (degree).